



## **Guidelines for the Use of Antiretroviral Agents in Adults and Adolescents with HIV**

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**Appendix B, Table 6. Characteristics of Integrase Strand Transfer Inhibitors (Last updated December 18, 2019; last reviewed December 18, 2019)** (page 1 of 2)

Generic Name (Abbreviation) Trade Name	Formulations	Dosing Recommendations <sup>a</sup>	Elimination/ Metabolic Pathways	Serum Half- Life	Adverse Events <sup>b</sup>
<b>Bictegravir</b> (BIC)	BIC is only available as a component of the STR Biktarvy (BIC/TAF/FTC). <sup>c</sup>	<b>Biktarvy:</b> • One tablet PO once daily	CYP3A4 substrate  UGT1A1-mediated glucuronidation	~17 hours	Diarrhea  Nausea  Headache  <b>Weight gain</b>
<b>Dolutegravir</b> (DTG) <i>Tivicay</i>	<b>Tivicay:</b> • 50 mg tablet  <b>STRs that Contain DTG:</b> <sup>c</sup> • Dovato (DTG/3TC) • Juluca (DTG/RPV) • Trumeq (DTG/ABC/3TC)	<b>In ARV-Naive or ARV-Experienced, INSTI-Naive Patients:</b> • DTG 50 mg PO once daily  <b>In ARV-Naive or ARV-Experienced, INSTI-Naive Patients when Coadministered with EFV, FPV/r, TPV/r, or Rifampin:</b> • DTG 50 PO mg twice daily  <b>INSTI-Experienced Patients with Certain INSTI Mutations (See Product Label) or with Clinically Suspected INSTI Resistance:</b> • DTG 50 mg PO twice daily  See <a href="#">Appendix B, Table 1</a> for dosing information for STRs that contain DTG.	UGT1A1-mediated glucuronidation  Minor substrate of CYP3A4	~14 hours	Insomnia  Headache  Depression and suicidal ideation (rare; usually occurs in patients with pre-existing psychiatric conditions)  Weight gain  Hepatotoxicity  <b>There is a potential increased risk of NTDs in infants born to individuals who received DTG around the time of conception (see Table 6b for more information).</b>  HSRs, including rash, constitutional symptoms, and organ dysfunction (including liver injury), have been reported.
<b>Elvitegravir</b> (EVG)	EVG is only available as a component of an STR tablet that also contains COBI, FTC, and either TDF or TAF.  <b>STRs that Contain EVG:</b> <sup>c</sup> • Genvoya (EVG/c/TAF/FTC) • Stribild (EVG/c/TDF/FTC)	<b>Genvoya:</b> • One tablet PO once daily with food • See <a href="#">Appendix B, Table 10</a> for recommendations on dosing in persons with renal insufficiency.  <b>Stribild:</b> • One tablet PO once daily with food  • <b>Not recommended</b> for patients with baseline CrCl <70 mL/min (see <a href="#">Appendix B, Table 10</a> for the CrCl calculation equation).	<b>EVG:</b> • CYP3A and UGT1A1/3 substrate  <b>COBI:</b> • CYP3A inhibitor and substrate • CYP2D6 inhibitor	<b>EVG/c:</b> ~13 hours	Nausea  Diarrhea  Depression and suicidal ideation (rare; usually occurs in patients with pre-existing psychiatric conditions)

**Appendix B, Table 6. Characteristics of Integrase Strand Transfer Inhibitors (Last updated December 18, 2019; last reviewed December 18, 2019)** (page 2 of 2)

Generic Name (Abbreviation) Trade Name	Formulations	Dosing Recommendations <sup>a</sup>	Elimination/ Metabolic Pathways	Serum Half- Life	Adverse Events <sup>b</sup>
<b>Raltegravir</b> (RAL) <i>Isentress</i> <i>Isentress HD</i>	<b>Isentress:</b> <ul style="list-style-type: none"> <li>• 400 mg tablet</li> <li>• 25 and 100 mg chewable tablets</li> <li>• 100 mg single-use packet for oral suspension</li> </ul> <b>Isentress HD:</b> <ul style="list-style-type: none"> <li>• 600 mg tablet</li> </ul>	<b>Isentress</b> <i>In ARV-Naïve Patients or ARV-Experienced Patients:</i> <ul style="list-style-type: none"> <li>• 400 mg PO twice daily</li> </ul> <i>With Rifampin:</i> <ul style="list-style-type: none"> <li>• 800 mg twice daily</li> </ul> <b>Isentress HD</b> <i>In ARV-Naïve or ARV-Experienced Patients with Virologic Suppression on a Regimen containing RAL 400 mg Twice Daily:</i> <ul style="list-style-type: none"> <li>• 1,200 mg (two 600-mg tablets) PO once daily</li> </ul> <i>With Rifampin:</i> <ul style="list-style-type: none"> <li>• <b>Not recommended</b></li> </ul>	UGT1A1-mediated glucuronidation	~9 hours	Rash, including Stevens-Johnson syndrome, HSR, and toxic epidermal necrolysis  Nausea  Headache  Diarrhea  Pyrexia  CPK elevation, muscle weakness, and rhabdomyolysis  <b>Weight gain</b>  Insomnia  Depression and suicidal ideation (rare; usually occurs in patients with pre-existing psychiatric conditions)

<sup>a</sup> For dose adjustments in patients with hepatic insufficiency, see [Appendix B, Table 10](#). When no food restriction is listed, the ARV drug can be taken with or without food.

<sup>b</sup> Also see [Table 17](#).

<sup>c</sup> See [Appendix B, Table 1](#) for information about these formulations.

**Key:** 3TC = lamivudine; ABC = abacavir; ARV = antiretroviral; BIC = bictegravir; COBI = cobicistat; CPK = creatine phosphokinase; CrCl = creatinine clearance; CYP = cytochrome P; DTG = dolutegravir; EFV = efavirenz; EVG = elvitegravir; EVG/c = elvitegravir/cobicistat; FDC = fixed-dose combination; FPV/r = fosamprenavir/ritonavir; FTC = emtricitabine; HSR = hypersensitivity reaction; INSTI = integrase strand transfer inhibitor; NTD = neural tube defect; PO = orally; RAL = raltegravir; RPV = rilpivirine; STR = single-tablet regimen; TAF = tenofovir alafenamide; TDF = tenofovir disoproxil fumarate; TPV/r = tipranavir/ritonavir; UGT = uridine diphosphate glucuronyl transferase

**Appendix B, Table 7. Characteristics of the Fusion Inhibitor (Last updated December 18, 2019; last reviewed December 18, 2019)**

Generic Name (Abbreviation) Trade Name	Formulation	Dosing Recommendation	Serum Half- Life	Elimination	Adverse Events <sup>a</sup>
<b>Enfuvirtide</b> (T-20) <i>Fuzeon</i>	<b>Fuzeon:</b> <ul style="list-style-type: none"> <li>• Injectable; supplied as lyophilized powder.</li> <li>• Each vial contains 108 mg of T-20; reconstitute with 1.1 mL of sterile water for injection for delivery of approximately 90 mg/1 mL.</li> <li>• Refer to prescribing information for storage instruction.</li> </ul>	<b>Fuzeon:</b> <ul style="list-style-type: none"> <li>• T-20 90 mg/1 mL SQ twice daily</li> </ul>	3.8 hours	Expected to undergo catabolism to its constituent amino acids, with subsequent recycling of the amino acids in the body pool	Local injection site reactions (e.g., pain, erythema, induration, nodules and cysts, pruritus, ecchymosis) in almost 100% of patients  Increased incidence of bacterial pneumonia  HSR occurs in <1% of patients. Symptoms may include rash, fever, nausea, vomiting, chills, rigors, hypotension, or elevated serum transaminases. <b>Re-challenge is not recommended.</b>

<sup>a</sup> Also see [Table 17](#).

**Key:** HSR = hypersensitivity reaction; SQ = subcutaneous; T-20 = enfuvirtide